

# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

REC'D 16 MAR 2004

WIPO PCT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 100669-1 WO	FOR FURTHER ACTION    See Form PCT/IPEA/416	
International application No. PCT/SE 2003/000480	International filing date (day/month/year) 24.03.2003	Priority date (day/month/year) 25.03.2002
International Patent Classification (IPC) or national classification and IPC C07D 211/58, 451/04, 401/12, 403/12, A61K 31/4468, 31/46, A61P 29/00, 31/12		
Applicant AstraZeneca AB et al		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 6 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
  - a. ☐ (sent to the applicant and to the International Bureau) a total of \_\_\_\_\_ sheets, as follows:
    - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
    - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
  - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) \_\_\_\_\_, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- |                                     |              |                                                                                                                                                                 |
|-------------------------------------|--------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <input checked="" type="checkbox"/> | Box No. I    | Basis of the report                                                                                                                                             |
| <input type="checkbox"/>            | Box No. II   | Priority                                                                                                                                                        |
| <input checked="" type="checkbox"/> | Box No. III  | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability                                                                |
| <input type="checkbox"/>            | Box No. IV   | Lack of unity of invention                                                                                                                                      |
| <input checked="" type="checkbox"/> | Box No. V    | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input checked="" type="checkbox"/> | Box No. VI   | Certain documents cited                                                                                                                                         |
| <input type="checkbox"/>            | Box No. VII  | Certain defects in the international application                                                                                                                |
| <input type="checkbox"/>            | Box No. VIII | Certain observations on the international application                                                                                                           |

Date of submission of the demand  25.09.2003	Date of completion of this report  01.03.2004
Name and mailing address of the IPEA/SE Patent- och registreringsverket Box 5055 S-102 42 STOCKHOLM Facsimile No. +46 8 667 72 88	Authorized officer  Gerd Strandell/EÖ Telephone No. +46 8 782 25 00

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on a translation from the original language into the following language \_\_\_\_\_, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))  
☐ publication of the international application (under Rule 12.4)  
☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

☒ the international application as originally filed/furnished

☐ the description:

pages \_\_\_\_\_ as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☐ the claims:

pages \_\_\_\_\_ as originally filed/furnished

pages\* \_\_\_\_\_ as amended (together with any statement) under Article 19

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☐ the drawings:

pages \_\_\_\_\_ as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to the sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to the sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application

☒ claims Nos. 13

because:

☒ the said international application, or the said claims Nos. 13  
relate to the following subject matter which does not require an international preliminary examination (*specify*):

See PCT Rule 67.1.(iv).: Methods for treatment of the human or animal body by surgery or therapy, as well as diagnostic methods.

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. \_\_\_\_\_  
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. \_\_\_\_\_ are so inadequately supported  
by the description that no meaningful opinion could be formed.

☐ no international search report has been established for said claims Nos. \_\_\_\_\_

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐

has not been furnished

☐

does not comply with the standard

the computer readable form

☐

has not been furnished

☐

does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in the Annex C-bis of the Administrative Instructions.

☐ See Supplemental Box for further details.

**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

Novelty (N)	Claims	<u>1-12</u>	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	<u>1-12</u>	NO
Industrial applicability (IA)	Claims	<u>1-12</u>	YES
	Claims		NO

**2. Citations and explanations (Rule 70.7)**

The following documents are cited:

D1 EP 1013276 A1 (PFIZER INC.), 28 June 2000  
(28.06.00), the claims, the examples

D2 WO 0187839 A1 (ASTRAZENECA AB), 22 November 2001  
(22.11.01), page 32 - page 35, nos. 1, 54, 55, the  
claims

D3 WO0114333 A1 (ASTRAZENECA UK LIMITED),  
1 March 2001 (01.03.01)

D4 WO 0076513 A1 (MERCK & CO., INC.),  
21 December 2000 (21.12.00)

D5 WO 0190106 A2 (PFIZER LIMITED), 29 November 2001  
(29.11.01)

D1 and D2 disclose compounds, useful as modulators of chemokine receptor activity (for example CCR5 activity), of a general formula, which seem to embrace the compounds of present claims. The presently claimed compounds only differ from the compounds in the examples of D1 and D2 by R1 being substituted by one or two fluorine atoms.

D3 to D5 disclose compounds, useful as modulators of chemokine receptor activity, and structurally close to the presently claimed compounds.

.../...

## Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: Box No. V

The closest prior art to the present subject-matter is regarded as being represented by documents D1 and D2. In the light of the prior art and having regard to the present description and claims, the problem underlying the present application can be formulated as "provision of further modulators of chemokine receptor activity useful in the treatment of a wide range of chemokine mediated conditions".

No inventive concept can be recognised in the mere provision of further analogues to existing pharmaceutical compounds unless the novel compounds are shown to possess an unexpected and advantageous effect over the prior art (i.e. D1 and D2 ).

None of the cited documents has explicitly disclosed the invention claimed in claims 1-12. Thus, claims 1-12 are novel. However, given the facts above the subject matter of claims 1-12 is considered obvious to a person skilled in the art. It has not been shown, for the whole scope of the claims, that the invention claimed in claims 1-12 has any unexpected beneficial effects compared to those in the cited documents.

In the absence of an unexpected effect inventive step is considered to be lacking.

Consequently, the invention claimed in claims 1-12 is novel, is considered to fulfil the requirement of industrial applicability, but is not considered to fulfil the requirement of inventive step.

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/SE 2003/000480

## Box No. VI Certain documents cited

### 1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO02/070479	12.09.2002	27.02.2002	01.03.2001 26.06.2001 13.11.2001
WO02/076948	03.10.2002	19.03.2002	22.03.2001

### 2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)